

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:59:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2133 TO ITERATE

93.8% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 39890 TO 45430

PROJECTED ANSWERS: 2 TO 129

L2 2 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 15:59:28 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 44032 TO ITERATE

100.0% PROCESSED 44032 ITERATIONS 130 ANSWERS
SEARCH TIME: 00.00.01

L3 130 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

185.88

186.10

FILE 'CAPLUS' ENTERED AT 15:59:32 ON 14 AUG 2009

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FILE COVERS 1907 - 14 Aug 2009 VOL 151 ISS 8
FILE LAST UPDATED: 13 Aug 2009 (20090813/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAPLUS family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

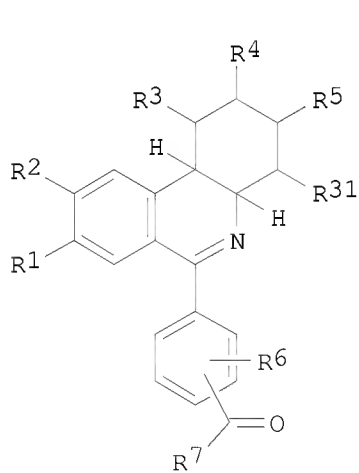
=> s 13

L4 4 L3

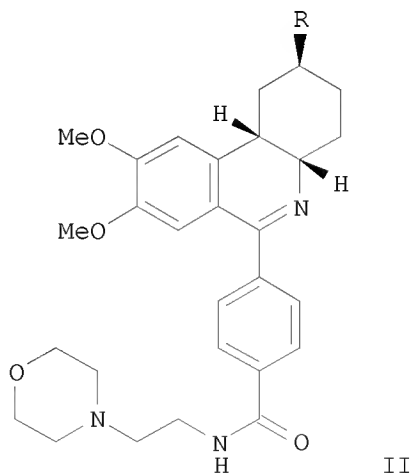
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L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

GI



I



II

AB Title compds. I [wherein R1, R2 = OH or (cyclo)alkoxy; R3, R31 = H or alkyl; R4 = OH, alkoxy or alkylcarbonyloxy; R5 = H or alkyl; R6 = H, halo, alkyl or alkoxy; R7 = (un)substituted NH2; etc., or their salts and the N-oxides, and the salts of the N-oxides] were prepared as PDE4 inhibitors. For instance, II (R = OH) was synthesized by hydrolysis of its ester II (R

= OAc) with Cs₂CO₃ in methanol. Representative I, including II (R = OH), were found to inhibit PDE4B2 with pIC₅₀ values of 6.42 - 9.02. Therefore, I and pharmaceutical compns. thereof are useful for treating PDE-mediated disorders, such as respiratory diseases.

AN 2005:1026938 CAPLUS

DN 143:326233

TI Preparation of amido-substituted phenylphenanthridines as PDE4 inhibitors for the treatment of respiratory diseases

IN Schmidt, Beate; Kautz, Ulrich

PA Altana Pharma AG, Germany; Kautz, Ulrich

SO PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DT Patent

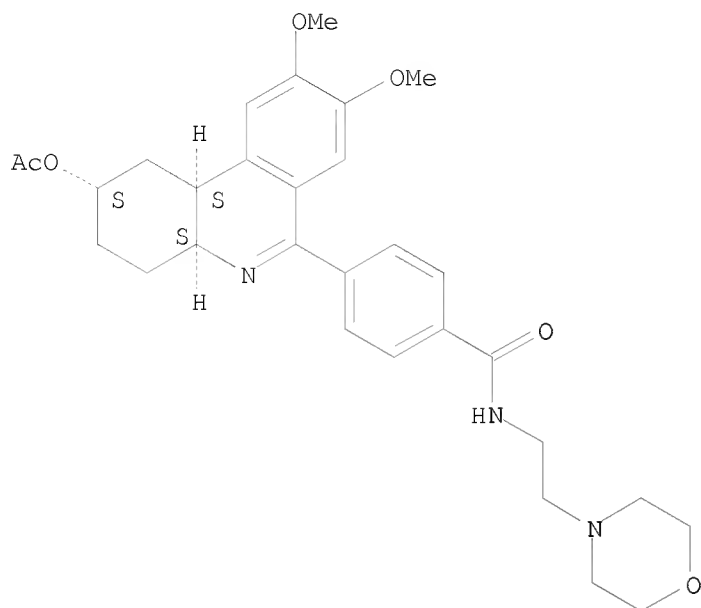
LA English

FAN.CNT 1

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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				EP 2004-100990	A 20040310
				EP 2004-106677	A 20041217
AU	2005221832	A1	20050922	AU 2005-221832	20050309
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				EP 2004-106677	A 20041217
				WO 2005-EP51054	W 20050309
CA	2558391	A1	20050922	CA 2005-2558391	20050309
				EP 2004-100990	A 20040310
				EP 2004-106677	A 20041217
				WO 2005-EP51054	W 20050309
EP	1725534	A1	20061129	EP 2005-740073	20050309
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
				EP 2004-100990	A 20040310
				EP 2004-106677	A 20041217
				WO 2005-EP51054	W 20050309
CN	1926113	A	20070307	CN 2005-80006855	20050309
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				EP 2004-106677	A 20041217
				WO 2005-EP51054	W 20050309
BR	2005008481	A	20070731	BR 2005-8481	20050309
				EP 2004-100990	A 20040310
				EP 2004-106677	A 20041217
				WO 2005-EP51054	W 20050309
JP	2007527901	T	20071004	JP 2007-502343	20050309
				EP 2004-100990	A 20040310

			EP 2004-106677	A	20041217
			WO 2005-EP51054	W	20050309
ZA	2006006669	A	20080227	ZA	2006-6669
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			EP 2004-100990	A	20040310
MX	2006009892	A	20070301	MX	2006-9892
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US	20070185149	A1	20070809	US	2006-591480
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NO	2006004415	A	20061010	NO	2006-4415
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			EP 2004-106677	A	20041217
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KR	2006130697	A	20061219	KR	2006-720318
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IN	2006MN01169	A	20070413	IN	2006-MN1169
					20061003
			EP 2004-100990	A	20040310
			WO 2005-EP51054	W	20050309
OS	CASREACT 143:326233; MARPAT 143:326233				
IT	865306-83-8P				
	RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)				
	(inhibitor; preparation of amido-substituted phenylphenanthridines as PDE4 inhibitors for the treatment of respiratory diseases)				
RN	865306-83-8 CAPLUS				
CN	Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(4-morpholinyl)ethyl]-, rel- (CA INDEX NAME)				

Relative stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = independently OH and F-substituted/cyclo/alkoxy, 2,2-difluoroethoxy, etc.; R1-R2 = alkylenedioxy; R3, R31 = independently H, alkyl; R4 = H, alkyl, OR41; R5 = OR51; R41, R51 = independently H, alkoxy/hydroxy/F-substituted/alkyl, alkylcarbonyl; R6 = H, halo, alkyl, alkoxy; R61 = H, alkoxy/alkyl; R7 = cycloalkyl, (un)substituted alkyl, 3-7 membered fully saturated heteriocyclyl, etc.; their N-oxides, and their salts] were prepared as effective PDE4 inhibitors for treating respiratory diseases. Thus, acylation of amine rac-II with methoxyacetic acid and saponification gave phenanthridine rac-III. Selected I inhibited PDE4 with

-log IC50 values in the range of 8.42 to 9.73 mol/l.

AN 2005:1001807 CAPLUS

DN 143:306198

TI Preparation of 2- or 3-hydroxy-6-(substituted-carbonylaminophenyl)phenanthridines as PDE4 inhibitors

IN Schmidt, Beate; Flockerzi, Dieter; Hatzelmann, Armin; Zitt, Christof; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Kautz, Ulrich

PA Altana Pharma AG, Germany

SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DT Patent

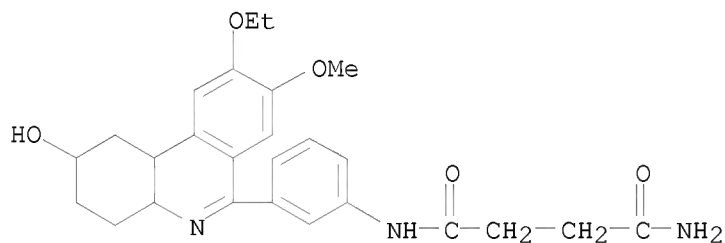
LA English

FAN.CNT 1

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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				EP 2004-100959	A 20040309
				EP 2005-100545	A 20050127
AU	2005220034	A1	20050915	AU 2005-220034	20050308
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				EP 2005-100545	A 20050127
				WO 2005-EP51025	W 20050308
CA	2558375	A1	20050915	CA 2005-2558375	20050308
				EP 2004-100959	A 20040309
				EP 2005-100545	A 20050127
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EP	1745025	A2	20070124	EP 2005-729761	20050308
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				EP 2004-100959	A 20040309
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CN	1926111	A	20070307	CN 2005-80006520	20050308
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JP	2007527899	T	20071004	JP 2007-502338	20050308
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BR	2005008361	A	20071120	BR 2005-8361	20050308
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				WO 2005-EP51025	W 20050308
ZA	2006006635	A	20080528	ZA 2006-6635	20060810
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MX	2006009893	A	20061003	MX 2006-9893	20060831
				EP 2004-100959	A 20040309
				EP 2005-100545	A 20050127
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US	20070191414	A1	20070816	US 2006-591478	20060927
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NO	2006004417	A	20061010	NO 2006-4417	20060929

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KR	2006124784	A	20061205		
			KR 2006-720594		20061002
			EP 2004-100959	A	20040309
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IN	2006MN01171	A	20070406		
			IN 2006-MN1171		20061003
			EP 2004-100959	A	20040309
			WO 2005-EP51025	W	20050308

OS CASREACT 143:306198; MARPAT 143:306198
IT 1044694-13-4
RL: PRPH (Prophetic)
(Preparation of 2- or 3-hydroxy-6-(substituted-carbonylaminophenyl)phenanthridines as PDE4 inhibitors)
RN 1044694-13-4 CAPLUS
CN Butanediamide, N1-[3-(9-ethoxy-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl)phenyl]- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
GI

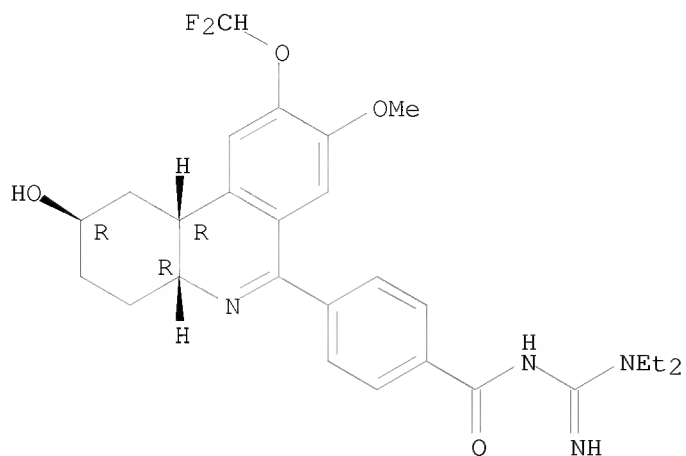
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = OH, alkoxy, cycloalkoxy, etc.; R2 = OH, cycloalkylmethoxy, cycloalkoxy, etc. or R1 and R2 together form alkylenedioxy group; R3 = H or alkyl; R4 = OR9 and R5 = H or alkyl or R4 = H or alkyl and R5 = OR9; R6 = H or alkyl; R7 = (un)substituted guanidinyl; R8 = H, halo, nitro, etc.; R9 = H, alkyl, alkoxyalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as phosphodiesterase 4 (PDE4) inhibitors. Thus, e.g., II was prepared by coupling of 4-((2RS,4aRS,10bRS)-2-acetoxy-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydro-phenanthridin-6-yl)-benzoic acid with the resp. guanidinyl derivative followed by hydrolysis. The activity of I was evaluated using scintillation proximity assays and it was revealed that selected compds. of the invention displayed -log IC50 values higher than 7.5. I as inhibitor of PDE4 should provide useful in the treatment of respiratory disorders. Pharmaceutical compns. comprising I are disclosed.

AN 2005:902858 CAPLUS
 DN 143:248297
 TI Preparation of guanidinyl hydroxyphenylphenanthridines as PDE4 inhibitors
 IN Schmidt, Beate; Flockerzi, Dieter; Hatzelmann, Armin; Zitt, Christof;
 Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Kautz, Ulrich
 PA Altana Pharma A.-G., Germany
 SO PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

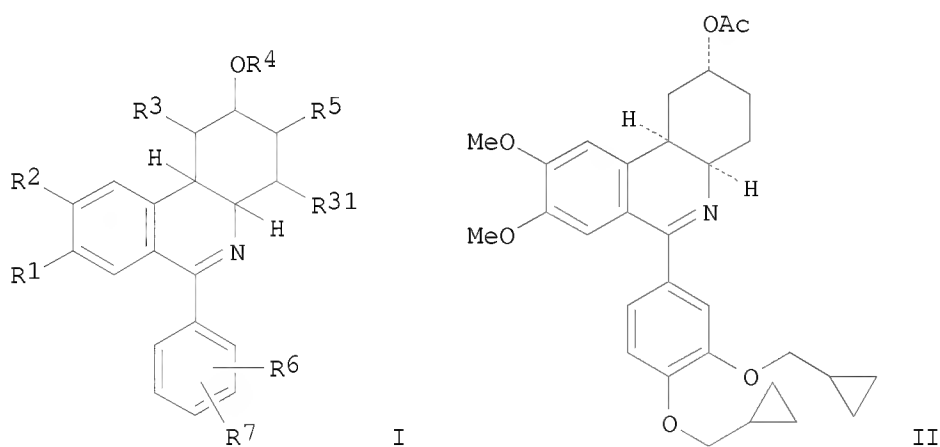
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	CA 2556086	A1	20050825	WO 2005-EP50708	W 20050217
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	EP 1720835	A1	20061115	WO 2005-EP50708	W 20050217
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				EP 2004-3592	A 20040218
				WO 2005-EP50708	W 20050217
	JP 2007523130	T	20070816	JP 2006-553593	20050217
				EP 2004-3592	A 20040218
				WO 2005-EP50708	W 20050217
	US 20070167482	A1	20070719	US 2006-589082	20060905
				EP 2004-3592	A 20040218
				WO 2005-EP50708	W 20050217
OS	CASREACT 143:248297; MARPAT 143:248297				
IT	862993-72-4P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of guanidinyl hydroxyphenylphenanthridines as PDE4 inhibitors)				
RN	862993-72-4 CAPLUS				
CN	Benzamide, N-[(diethylamino)iminomethyl]-4-[(2R,4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-, rel- (CA INDEX NAME)				

Relative stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
 GI



AB The title compds. I [wherein R1 and R2 = independently OH, alkoxy, cycloalkyloxy, cycloalkylmethoxy, or fluorinated alkoxy; or R1 and R2 together form alkylenedioxy; R3 = H or alkyl; R31 = H or alkyl; R4 = H, alkyl, fluorinated alkyl, alkoxyalkyl, hydroxyalkyl, or alkylcarbonyl; R5 = H or alkyl; R6 = H, alkyl, CF3, alkoxy, fluorinated alkoxy, cycloalkyloxy, cycloalkylmethoxy, H, NO2, CN, OH, alkylcarbonyloxy, NH2, alkylamino, dialkylamino, Ph, Ph-alkyl, alkylcarbonylamino, PhO, or (un)substituted CO2H; R7 = H, alkyl, OH, halo, alkoxy, fluorinated alkoxy, cycloalkyloxy, cycloalkylmethoxy, or (un)substituted CO2H] or salts, N-oxides, or salts of the N-oxides thereof are prepared as phosphodiesterase

(PDE) 4 inhibitors. For example, the compound II was prepared in a multi-step synthesis. I showed inhibitory activity with "-logIC50" of 7.09 to 9.74 against human PDE4. I are useful for the treatment of respiratory disorders or dermatosis (no data).

AN 2004:203669 CAPLUS

DN 140:235615

TI Preparation of 2-Hydroxy-6-phenylphenanthridines as PDE-4 inhibitors

IN Kautz, Ulrich; Schmidt, Beate

PA Altana Pharma A.-G., Germany

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004019944	A1	20040311	WO 2003-EP9547	20030828
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	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	CA 2495827	A1	20040311	EP 2002-19335	A 20020829
				CA 2003-2495827	20030828
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				WO 2003-EP9547	W 20030828
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				EP 2002-19335	A 20020829
				WO 2003-EP9547	W 20030828
	EP 1539164	A1	20050615	EP 2003-790931	20030828
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				WO 2003-EP9547	W 20030828
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				WO 2003-EP9547	W 20030828
	AT 348616	T	20070115	AT 2003-790931	20030828
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	ES 2279215	T3	20070816	ES 2003-790931	20030828
				EP 2002-19335	A 20020829
	US 20050239817	A1	20051027	US 2005-524819	20050218
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				EP 2002-19335	A 20020829
				WO 2003-EP9547	W 20030828
	US 20080319067	A1	20081225	US 2007-710	20071217
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				US 2005-524819	A1 20050218

OS MARPAT 140:235615

IT 669000-72-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

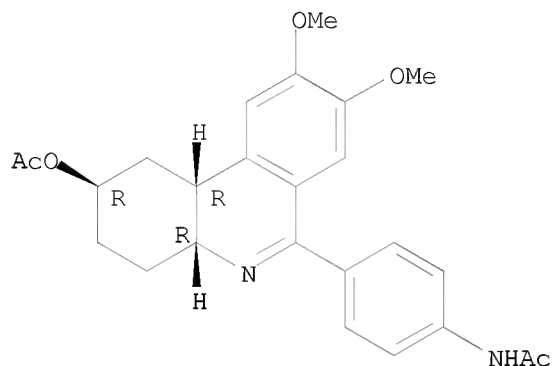
(Uses)

(drug candidate; preparation of phenanthridine derivs. as PDE-4 inhibitors)

RN 669000-72-0 CAPLUS

CN Acetamide, N-[4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT